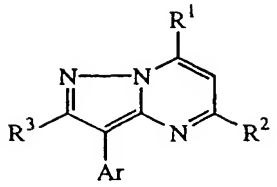
Claims

1. A compound of formula



(I),

including the stereoisomers and the pharmaceutically acceptable acid addition salt forms thereof, wherein

R^1 is NR^4R^5 or OR^5;

R^2 is C_{1-6}alkyl, C_{1-6}alkyloxy or C_{1-6}alkylthio;

R^3 is hydrogen, C_{1-6}alkyl, C_{1-6}alkylsulfonyl, C_{1-6}alkylsulfoxy or C_{1-6}alkylthio;

R^4 is hydrogen, C_{1-6}alkyl, mono- or di(C_{3-6}cycloalkyl)methyl, C_{3-6}cycloalkyl, C_{3-6}alkenyl, hydroxyC_{1-6}alkyl, C_{1-6}alkylcarbonyloxyC_{1-6}alkyl or C_{1-6}alkyloxyC_{1-6}alkyl;

R^5 is C_{1-8}alkyl, mono- or di(C_{3-6}cycloalkyl)methyl, Ar^1CH_2, C_{3-6}alkenyl, C_{1-6}alkyloxyC_{1-6}alkyl, hydroxyC_{1-6}alkyl, thienylmethyl, furanylmethyl, C_{1-6}alkylthioC_{1-6}alkyl, morpholinyl, mono- or di(C_{1-6}alkyl)aminoC_{1-6}alkyl, di(C_{1-6}alkyl)amino, C_{1-6}alkylcarbonylC_{1-6}alkyl, C_{1-6}alkyl substituted with imidazolyl; or a radical of formula -Alk-O-CO-Ar^1;

or R^4 and R^5 taken together with the nitrogen atom to which they are attached may form a pyrrolidinyl, piperidinyl, homopiperidinyl or morpholinyl group, optionally substituted with C_{1-6}alkyl or C_{1-6}alkyloxyC_{1-6}alkyl; and

Ar is phenyl; phenyl substituted with 1, 2 or 3 substituents independently selected from halo, C_{1-6}alkyl, trifluoromethyl, hydroxy, cyano, C_{1-6}alkyloxy, benzyloxy, C_{1-6}alkylthio, nitro, amino and mono- or di(C_{1-6}alkyl)amino; pyridinyl; pyridinyl substituted with 1, 2 or 3 substituents independently selected from halo, C_{1-6}alkyl, trifluoromethyl, hydroxy, cyano, C_{1-6}alkyloxy, benzyloxy, C_{1-6}alkylthio, nitro, amino, mono- or di(C_{1-6}alkyl)amino and piperidinyl; and wherein said substituted phenyl may optionally be further substituted with one or more halogens;

Ar^1 is phenyl; phenyl substituted with 1, 2 or 3 substituents each independently selected from halo, C_{1-6}alkyl, C_{1-6}alkyloxy, di(C_{1-6}alkyl)aminoC_{1-6}alkyl, trifluoromethyl and C_{1-6}alkyl substituted with morpholinyl; or pyridinyl; and

Alk is C_{1-6}alkanediyl;

with the proviso that 5-methyl-3-phenyl-7-(phenylmethoxy)-pyrazolo[1,5-a]-pyrimidine and 2,5-dimethyl-7-(methylamino)-3-phenyl-pyrazolo[1,5-a]pyrimidine are not included.

2. A compound according to claim 1 wherein R^1 is OR^5 and R^5 is C_{1-6}alkyl; or R^1 is NR^4R^5 and R^4 is hydrogen, C_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkylcarbonyloxy-C_{1-6}alkyl or C_{3-6}alkenyl, R^5 is C_{1-8}alkyl, C_{3-6}alkenyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxyC_{1-6}alkyl, phenylmethyl or C_{3-6}cycloalkylmethyl; or R^4 and R^5 are taken together with the nitrogen atom to which they are attached to form a pyrrolidinyl, piperidinyl, homopiperidinyl or morpholinyl group, optionally substituted with C_{1-6}alkyl or C_{1-6}alkyloxyC_{1-6}alkyl; R^2 is C_{1-6}alkyl; R^3 is hydrogen, C_{1-6}alkyl or C_{1-6}alkylthio; and Ar is a phenyl substituted with 1, 2 or 3 substituents each independently selected from halo, C_{1-6}alkyl or C_{1-6}alkyloxy; or Ar is a pyridinyl substituted with 1, 2 or 3 substituents each independently selected from halo, amino, nitro, trifluoromethyl, mono- or di(C_{1-6}alkyl)amino, piperidinyl or C_{1-6}alkyl.

3. A compound according to any of claims 1 to 2 wherein R^1 is NR^4R^5 and R^4 is C_{2-4}alkyl, hydroxyC_{1-2}alkyl, C_{3-4}alkenyl or C_{1-2}alkylcarbonyloxyC_{2-4}alkyl; R^5 is C_{2-4}alkyl, C_{3-4}alkenyl, hydroxyC_{2-4}alkyl or cyclopropylmethyl; R^2 is C_{1-2}alkyl; R^3 is hydrogen, C_{1-2}alkyl or C_{1-2}alkylthio.

4. A compound according to any of claims 1 to 3 wherein R^1 is NR^4R^5 and R^4 is C_{3-4}alkyl or allyl; R^5 is C_{2-4}alkyl, allyl or cyclopropylmethyl; R^2 is methyl; R^3 is methyl; and Ar is phenyl substituted in the 3-, 4-, 6-, 2,4- or 2,4,6-positions with halo, C_{1-6}alkyl or C_{1-6}alkyloxy.

5. A compound according to any of claims 1 to 3 wherein R^1 is NR^4R^5 and R^4 is C_{3-4}alkyl or allyl; R^5 is C_{3-4}alkyl, allyl or cyclopropylmethyl; R^2 is methyl; R^3 is methyl; and Ar is 3-pyridinyl substituted on the 4- and/or 6-position with methyl or dimethylamino.

6. A compound according to claim 1 wherein the compound is 3-[6-(dimethylamino)-3-pyridinyl]-2,5-dimethyl-*N*,*N*-dipropylpyrazolo[2,3-a]pyrimidin-7-amine, or 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethyl-*N*,*N*-dipropylpyrazolo[2,3-a]pyrimidin-7-amine;

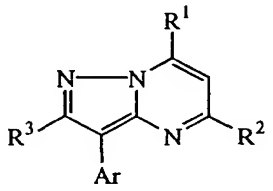
the stereochemically isomeric forms, or the pharmaceutically acceptable acid addition salts thereof.

7. A composition comprising a pharmaceutically acceptable carrier, and as active ingredient a therapeutically effective amount of a compound as claimed in any one of claims 1 to 6.

8. A process for preparing a composition as claimed in claim 7 characterized in that a therapeutically effective amount of a compound as claimed in any one of claims 1 to 6 is intimately mixed with a pharmaceutically acceptable carrier.

9. A compound according to any one of claims 1 to 6 for use as a medicine.

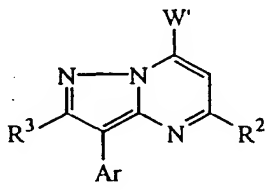
10. The use of compounds of formula



(I),

including the stereoisomers and the pharmaceutically acceptable acid addition salt forms thereof, wherein R^1, R^2, R^3 and Ar are as defined in claim 1, including the compounds 5-methyl-3-phenyl-7-(phenylmethoxy)-pyrazolo[1,5-a]-pyrimidine and 2,5-dimethyl-7-(methylamino)-3-phenyl-pyrazolo[1,5-a]pyrimidine, for the manufacture of a medicament for treating physiological conditions or disorders arising from the hypersecretion of corticotropin-releasing factor (CRF).

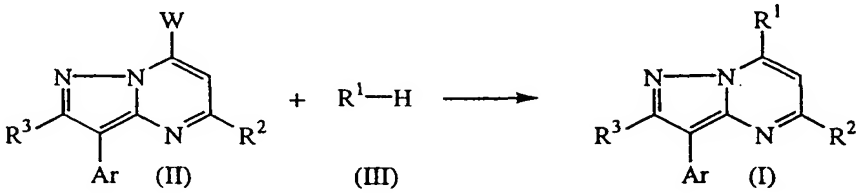
11. A compound of formula (II') wherein the radicals R^2, R^3 and Ar are as defined in any of claims 1 to 5 and radical W' is hydroxy, halo, mesyloxy or tosyloxy; a stereoisomeric form or an acid addition salt form thereof, with the proviso that compounds wherein Ar is unsubstituted phenyl are not included.



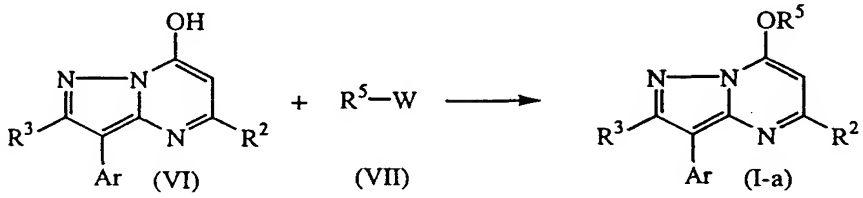
(II')

12. A process of preparing a compound as claimed in claim 1, characterized by

a) reacting an intermediate of formula (II) with an intermediate of formula (III) in a reaction-inert solvent,



b) *O*-alkylating an intermediate of formula (VI) with an intermediate of formula (VII) in a reaction-inert solvent and in the presence of a suitable base, yielding compounds of formula (I-a), defined as compounds of formula (I) wherein R^1 is OR^5,

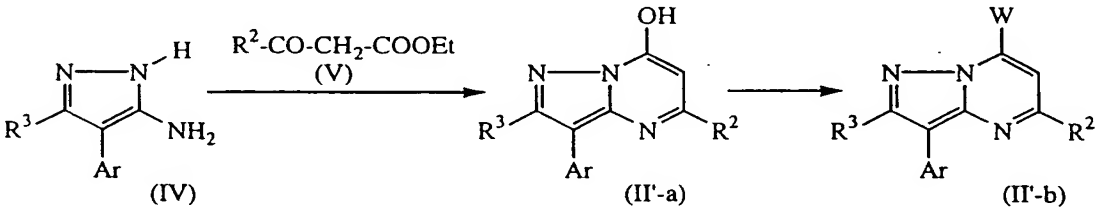


wherein in the above reaction schemes the radicals R^1, R^2, R^3, R^5 and Ar are as defined in claim 1 and W is an appropriate leaving group;

or, if desired, converting compounds of formula (I) into each other following art-known transformation reactions; and further, if desired, converting the compounds of formula (I), into an acid addition salt by treatment with an acid, or conversely, converting the acid addition salt form into the free base by treatment with alkali; and, if desired, preparing stereochemically isomeric forms thereof.

13. A process of preparing a compound of formula (II') as claimed in claim 10 characterized by

reacting an intermediate of formula (IV) with a β-keto ester (V) in a reaction-inert solvent, thereby yielding compounds of formula (II'-a), defined as compounds of formula (II') wherein W' is hydroxy;



and optionally converting compounds of formula (II'-a) into compounds of formula (II'-b), defined as compounds of formula (II') wherein W' is other than hydroxy;

wherein in the above reaction schemes the radicals R^2, R^3 and Ar are as defined in claim 1 and W' is hydroxy, halo, mesyloxy or tosyloxy;

or, if desired, converting compounds of formula (II') into each other following art-known transformation reactions; and further, if desired, converting the compounds of formula (II'), into an acid addition salt by treatment with an acid, or conversely, converting the acid addition salt form into the free base by treatment with alkali; and, if desired, preparing stereochemically isomeric forms thereof.